

Listing of Claims:

- $$\begin{array}{c}
 \text{R}^3 \\
 | \\
 \text{X}_2 \\
 | \\
 \text{A} \\
 | \\
 \text{R}^4
 \end{array}
 - \text{N}^{\text{R}^1} - \text{C}_5\text{H}_3\text{N}_4 - \text{N}^{\text{R}^2} - \text{X}_1 - \text{R}^2
 \quad (\text{I}).$$

ring A is phenyl;

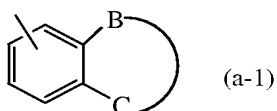
X₁ represents a direct bond; -(CH₂)_{n3}- or -(CH₂)_{n4}-X_{1a}-X_{1b}-;

with n_4 representing an integer with value 1 or 2;

with X_{1a} representing O, C(=O) or NR^5 ; and

with X_{1b} representing a direct bond or C₁₋₂alkyl;

R² represents C₃₋₇-cycloalkyl; phenyl; a 4, 5, 6- or 7-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N; benzoxazolyl or a radical of formula



wherein -B-C- represents a bivalent radical of formula

$$-\text{CH}_2-\text{CH}_2-\text{CH}_2- \quad (\text{b-1});$$
$$-\text{CH}_2-\text{CH}_2-\text{CH}_2-\text{CH}_2- \quad (\text{b-2});$$
$$-\text{X}_3-\text{CH}_2-\text{CH}_2-(\text{CH}_2)_n- \quad (\text{b-3});$$
$$-X_3-CH_2-(CH_2)_n-X_3- \quad (b-4);$$
$$-X_3-(CH_2)_n-CH=CH- \quad (b-5);$$
$$-\text{CH}=\text{N}-\text{X}_3- \quad (\text{b-6});$$

with X_3 representing O or NR^5 ;

n representing an integer with value 0, 1, 2 or 3;

n' representing an integer with value 0 or 1;
wherein said R² substituent, where possible, may optionally be substituted with at least one substituent selected from halo; hydroxy; C₁₋₆alkyl optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C₁₋₄alkyloxy, C₁₋₄alkyloxyC₁₋₄alkyloxy, C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyloxy, NR⁶R⁷, -C(=O)-NR⁶R⁷, -NR⁵-C(=O)-NR⁶R⁷, -S(=O)_{n1}-R⁸ or -NR⁵-S(=O)_{n1}-R⁸; C₂₋₆alkenyl or C₂₋₆alkynyl, each optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C₁₋₄alkyloxy, C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyloxy, NR⁶R⁷, -C(=O)-NR⁶R⁷, -NR⁵-C(=O)-NR⁶R⁷, -S(=O)_{n1}-R⁸ or -NR⁵-S(=O)_{n1}-R⁸; polyhalo-C₁₋₆alkyl optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C₁₋₄alkyloxy, C₁₋₄alkyloxyC₁₋₄alkyloxy, C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyloxy, NR⁶R⁷, -C(=O)-NR⁶R⁷, -NR⁵-C(=O)-NR⁶R⁷, -S(=O)_{n1}-R⁸ or -NR⁵-S(=O)_{n1}-R⁸; C₁₋₆alkyloxy optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C₁₋₄alkyloxy, C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyloxy, NR⁶R⁷, -C(=O)-NR⁶R⁷, -NR⁵-C(=O)-NR⁶R⁷, -S(=O)_{n1}-R⁸ or -NR⁵-S(=O)_{n1}-R⁸; polyhaloC₁₋₆alkyloxy optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C₁₋₄alkyloxy, C₁₋₄alkyloxyC₁₋₄alkyloxy, C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyloxy, NR⁶R⁷, -C(=O)-NR⁶R⁷, -NR⁵-C(=O)-NR⁶R⁷, -S(=O)_{n1}-R⁸ or -NR⁵-S(=O)_{n1}-R⁸; C₁₋₆alkylthio; polyhaloC₁₋₆alkylthio; C₁₋₆alkyloxycarbonyl; C₁₋₆alkylcarbonyloxy; C₁₋₆alkylcarbonyl; polyhaloC₁₋₆alkylcarbonyl; cyano; carboxyl; aryloxy; arylthio; arylcarbonyl; arylC₁₋₄alkyl; arylC₁₋₄alkyloxy; NR⁶R⁷; C(=O)NR⁶R⁷; -NR⁵-C(=O)-NR⁶R⁷; -NR⁵-C(=O)-R⁵; -S(=O)_{n1}-R⁸; -NR⁵-S(=O)_{n1}-R⁸; -S-CN; -NR⁵-CN; oxazolyl optionally substituted with C₁₋₄alkyl; imidazolyl optionally substituted

with C₁₋₄alkyl; or

$$-(\text{CH}_2)_{n2}-\text{X}_4-(\text{CH}_2)_{n2}-\text{N} \begin{array}{c} \diagup \quad \diagdown \\ \text{---} \quad \text{---} \\ \diagdown \quad \diagup \end{array} \text{X}_5$$

with n2 representing an integer with value 0, 1, 2, 3 or 4;

with X₄ representing O, NR⁵ or a direct bond;

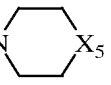
with X₅ representing O, CH₂, CHOH, CH-N(R₅)₂, NR⁵ or N-C(=O)-C₁₋₄alkyl;

X₂ represents a direct bond; -NR¹-; -NR¹-(CH₂)_{n3}-; -O-; -O-(CH₂)_{n3}-; -C(=O)-;

-C(=O)-(CH₂)_{n3}-; -C(=O)-NR⁵-(CH₂)_{n3}-; -C(=S)-; -S-; -S(=O)_{n1}-; -(CH₂)_{n3}-;

$-(CH_2)_{n4}-X_{1a}-X_{1b}-; -X_{1a}-X_{1b}-(CH_2)_{n4}-; -S(=O)_{n1}-NR^5-(CH_2)_{n3}-NR^5-; \text{ or } -S(=O)_{n1}-NR^5-(CH_2)_{n3}-;$

R^3 represents a 5-or 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N, or a 9-or 10-membered bicyclic heterocycle containing at least one heteroatom selected from O, S or N, wherein said R^3 substituent, where possible, may optionally be substituted with at least one substituent selected from halo; hydroxy; C_{1-6} alkyl optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkyloxy C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$; C_{2-6} alkenyl or C_{2-6} alkynyl, each optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$; polyhalo C_{1-6} alkyl; C_{1-6} alkyloxy optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$; polyhalo C_{1-6} alkylthio; polyhalo C_{1-6} alkylthio; C_{1-6} alkyloxycarbonyl; C_{1-6} alkylcarbonyloxy; C_{1-6} alkylcarbonyl; polyhalo C_{1-6} alkylcarbonyl; cyano; carboxyl; NR^6R^7 ; $C(=O)NR^6R^7$; $-NR^5-C(=O)-NR^6R^7$; $-NR^5-C(=O)-R^5$; $-S(=O)_{n1}-R^8$; $-NR^5-S(=O)_{n1}-R^8$; $-S-CN$;

$-NR^5-CN$; or $-(CH_2)_{n2}-X_4-(CH_2)_{n2}-N$  X_5 ; and in case R^3 represents a saturated or a partially saturated 5-or 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N, said R^3 may also be substituted with at least one oxo;

R^4 represents hydrogen; halo; hydroxy; C_{1-4} alkyl optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^9R^{10} , $-C(=O)-NR^9R^{10}$, $-NR^5-C(=O)-NR^9R^{10}$, $-S(=O)_{n1}-R^{11}$ or $-NR^5-S(=O)_{n1}-R^{11}$; C_{2-4} alkenyl or C_{2-4} alkynyl, each optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^9R^{10} , $-C(=O)-NR^9R^{10}$, $-NR^5-C(=O)-NR^9R^{10}$, $-S(=O)_{n1}-R^{11}$ or $-NR^5-S(=O)_{n1}-R^{11}$; polyhalo C_{1-3} alkyl; C_{1-4} alkyloxy optionally substituted with carboxyl; polyhalo C_{1-3} alkyloxy; C_{1-4} alkylthio; polyhalo C_{1-3} alkylthio;

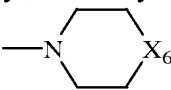
C₁₋₄alkyloxycarbonyl; C₁₋₄alkylcarbonyloxy; C₁₋₄alkylcarbonyl;
polyhaloC₁₋₄alkylcarbonyl; nitro; cyano; carboxyl; NR⁹R¹⁰; C(=O)NR⁹R¹⁰;
-NR⁵-C(=O)-NR⁹R¹⁰; -NR⁵-C(=O)-R⁵; -S(=O)_{n1}-R¹¹; -NR⁵-S(=O)_{n1}-R¹¹; -S-CN; or
-NR⁵-CN;

R⁵ represents hydrogen, C₁₋₄alkyl or C₂₋₄alkenyl;

R⁶ and R⁷ each independently represent hydrogen; cyano; C₁₋₆alkylcarbonyl optionally
substituted with C₁₋₄alkyloxy or carboxyl; C₁₋₆alkyloxycarbonyl;

C₃₋₇cycloalkylcarbonyl; adamantanylcabonyl; C₁₋₄alkyloxyC₁₋₄alkyl;

C₁₋₄alkyl substituted with C₁₋₄alkyl-NR⁵-; C₁₋₆alkyl optionally substituted with at least one
substituent selected from halo, hydroxy, cyano, carboxyl, C₁₋₄alkyloxy, polyhaloC₁₋₄alkyl,

C₁₋₄alkyloxyC₁₋₄alkyloxy, NR^{6a}R^{7a}, C(=O)NR^{6a}R^{7a} or ; with X₆ representing
O, CH₂, CHOH, CH-N(R₅)₂, NR⁵ or
N-C(=O)-C₁₋₄alkyl;

R^{6a} and R^{7a} each independently represent hydrogen; C₁₋₄alkyl or C₁₋₄alkylcarbonyl;

R⁸ represents C₁₋₄alkyl optionally substituted with hydroxy; polyhaloC₁₋₄alkyl or NR⁶R⁷;

R⁹ and R¹⁰ each independently represent hydrogen; C₁₋₆alkyl; cyano; C₁₋₆alkylcarbonyl;

C₁₋₄alkyloxyC₁₋₄alkyl; or C₁₋₄alkyl substituted with C₁₋₄alkyl-NR⁵-;

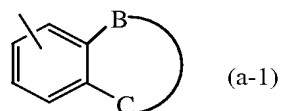
R¹¹ represents C₁₋₄alkyl or NR⁹R¹⁰;

n₁ represents an integer with value 1 or 2;

aryl represents phenyl or phenyl substituted with at least one substituent selected from halo,
C₁₋₆alkyl, C₃₋₇cycloalkyl, C₁₋₆alkyloxy, cyano, nitro, polyhaloC₁₋₆alkyl or
polyhaloC₁₋₆alkyloxy.

2. (Original) A compound according to claim 1 wherein

R² represents C₃₋₇cycloalkyl; phenyl or a 4, 5, 6- or 7-membered monocyclic heterocycle
containing at least one heteroatom selected from O, S or N; or a radical of formula



wherein -B-C- represents a bivalent radical of formula

-CH₂-CH₂-CH₂- (b-1);

-CH₂-CH₂-CH₂-CH₂- (b-2);

-X₃-CH₂-CH₂-(CH₂)_n- (b-3);

-X₃-CH₂-(CH₂)_n-X₃- (b-4);

$-X_3-(CH_2)_n-CH=CH-$ (b-5);

with X_3 representing O or NR^5 ;

n representing an integer with value 0, 1, 2 or 3;

n' representing an integer with value 0 or 1;

wherein said R^2 substituent, where possible, may optionally be substituted with at least one substituent selected from halo; hydroxy; C_{1-6} alkyl optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$; C_{2-6} alkenyl or C_{2-6} alkynyl, each optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$; polyhalo C_{1-6} alkyl; C_{1-6} alkyloxy optionally substituted with carboxyl; polyhalo C_{1-6} alkyloxy; C_{1-6} alkylthio; polyhalo C_{1-6} alkylthio; C_{1-6} alkyloxycarbonyl; C_{1-6} alkylcarbonyloxy; C_{1-6} alkylcarbonyl; polyhalo C_{1-6} alkylcarbonyl; cyano; carboxyl; NR^6R^7 ; $C(=O)NR^6R^7$; $-NR^5-C(=O)-NR^6R^7$; $-NR^5-C(=O)-R^5$; $-S(=O)_{n1}-R^8$; $-NR^5-S(=O)_{n1}-R^8$; $-S-CN$;

$-NR^5-CN$; or $-(CH_2)_{n2}-X_4-(CH_2)_{n2}-N \begin{array}{c} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{array} \begin{array}{c} X_5 \\ X_5 \end{array}$

with $n2$ representing an integer with value 0, 1, 2, 3 or 4;

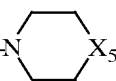
with X_4 representing O, NR^5 or a direct bond;

with X_5 representing O or NR^5 ;

X_2 represents a direct bond; $-NR^1-$; $-O-$; $-C(=O)-$; $-C(=S)-$; $-S-$; $-S(=O)_{n1}-$; $-(CH_2)_{n3}-$; or $-(CH_2)_{n4}-X_{1a}-X_{1b}-$;

R^3 represents a 5-or 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N, wherein said R^3 substituent, where possible, may optionally be substituted with at least one substituent selected from halo; hydroxy; C_{1-6} alkyl optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$; C_{2-6} alkenyl or C_{2-6} alkynyl, each optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$; polyhalo C_{1-6} alkyl; C_{1-6} alkyloxy optionally substituted with carboxyl;

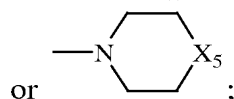
polyhaloC₁₋₆alkyloxy; C₁₋₆alkylthio; polyhaloC₁₋₆alkylthio; C₁₋₆alkyloxycarbonyl;
 C₁₋₆alkylcarbonyloxy; C₁₋₆alkylcarbonyl; polyhaloC₁₋₆alkylcarbonyl; cyano; carboxyl;
 NR⁶R⁷; C(=O)NR⁶R⁷; -NR⁵-C(=O)-NR⁶R⁷; -NR⁵-C(=O)-R⁵;

-S(=O)_{n1}-R⁸; -NR⁵-S(=O)_{n1}-R⁸; -S-CN; -NR⁵-CN; or $-(CH_2)_{n2}-X_4-(CH_2)_{n2}-N$ ; and in case R³ represents a saturated 5- or 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N, said R³ may also be substituted with at least one oxo;

R⁵ represents hydrogen or C₁₋₄alkyl;

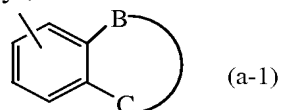
R⁶ and R⁷ each independently represent hydrogen; cyano; C₁₋₆alkylcarbonyl;

C₁₋₄alkyloxyC₁₋₄alkyl; C₁₋₄alkyl substituted with C₁₋₄alkyl-NR⁵-; C₁₋₆alkyl optionally substituted with hydroxy, C₁₋₄alkyloxy, C₁₋₄alkyloxyC₁₋₄alkyloxy, NR^{6a}R^{7a}, C(=O)NR^{6a}R^{7a}



R⁸ represents C₁₋₄alkyl, polyhaloC₁₋₄alkyl or NR⁶R⁷.

3. (Previously presented) A compound as claimed in claim 1 wherein R¹ represents hydrogen or C₁₋₆alkyl; X₁ represents a direct bond or -(CH₂)_{n3}-; R² represents C₃₋₇cycloalkyl; phenyl; a 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N; benzoxazolyl; or a radical of formula



wherein -B-C- represents a bivalent radical of formula

-CH₂-CH₂-CH₂- (b-1);

-X₃-CH₂-(CH₂)_n-X₃- (b-4);

-CH=N-X₃- (b-6);

with X₃ representing O or NR⁵;

n representing an integer with value 1;

wherein said R² substituent, where possible, may optionally be substituted with at least one substituent, in particular with 1 or 2 substituents selected from halo; C₁₋₆alkyl optionally substituted with at least one substituent selected from hydroxy, cyano, C₁₋₄alkyloxy, C₁₋₄alkyloxyC₁₋₄alkyloxy, NR⁶R⁷ or -C(=O)-NR⁶R⁷; polyhaloC₁₋₆alkyl; C₁₋₆alkyloxy optionally substituted with C₁₋₄alkyloxy; C₁₋₆alkylthio; C₁₋₆alkyl-

oxycarbonyl; cyano; arylthio; aryloxy; arylcarbonyl; NR^6R^7 ; $\text{C}(=\text{O})\text{NR}^6\text{R}^7$;
 $-\text{S}(=\text{O})_{\text{n}1}-\text{R}^8$; or imidazolyl optionally substituted with $\text{C}_{1-4}\text{alkyl}$;
 X_2 represents a direct bond; $-\text{NR}^1-$; $-\text{O}-(\text{CH}_2)_{\text{n}3}-$; $-\text{C}(=\text{O})-$; $-\text{C}(=\text{O})-\text{NR}^5-(\text{CH}_2)_{\text{n}3}-$;
 $-(\text{CH}_2)_{\text{n}3}-$; or $-\text{S}(=\text{O})_{\text{n}1}-\text{NR}^5-(\text{CH}_2)_{\text{n}3}-\text{NR}^5-$; R^3 represents a 5- or 6-membered monocyclic
heterocycle containing at least one heteroatom selected from O, S or N, wherein said R^3
substituent, where possible, may optionally be substituted with at least one substituent
selected from halo; hydroxy; $\text{C}_{1-6}\text{alkyl}$; or NR^6R^7 ; and in case R^3 represents a saturated or a
partially saturated 5- or 6-membered monocyclic heterocycle containing at least one
heteroatom selected from O, S or N, said R^3 may also be substituted with at least one oxo; R^4
represents hydrogen; nitro or carboxyl; R^5 represents hydrogen; R^6 and R^7 each
independently represent hydrogen; cyano; $\text{C}_{1-6}\text{alkylcarbonyl}$ optionally substituted with C_{1-4}
alkyloxy; $\text{C}_{1-6}\text{alkyloxycarbonyl}$; $\text{C}_{3-7}\text{cycloalkylcarbonyl}$; adamantanylcabonyl; or $\text{C}_{1-6}\text{alkyl}$;
 R^8 represents NR^6R^7 ; $\text{n}1$ represents an integer with value 2; aryl represents phenyl.

4. (Previously presented) A compound as claimed in claim 1 wherein R^1 is hydrogen; X_1 is a
direct bond or $-(\text{CH}_2)_{\text{n}3}-$; R^2 is indanyl; 2,3-dihydro-1,4-benzodioxanyl; phenyl optionally
being substituted with 1 or 2 substituents each independently being selected from $\text{C}_{1-6}\text{alkyl}$
which may optionally be substituted with hydroxy, cyano, $\text{C}_{1-4}\text{alkyloxy}$,
 $\text{C}_{1-4}\text{alkyloxyC}_{1-4}\text{alkyloxy}$, NR^6R^7 or $\text{C}(=\text{O})\text{NR}^6\text{R}^7$; $\text{C}_{1-6}\text{alkyloxy}$; halo; polyhalo $\text{C}_{1-6}\text{alkyl}$
which may optionally be substituted with hydroxy, cyano, $\text{C}_{1-4}\text{alkyloxy}$, $\text{C}_{1-4}\text{alkyloxyC}_{1-4}$
alkyloxy, NR^6R^7 or $\text{C}(=\text{O})\text{NR}^6\text{R}^7$; cyano; NR^6R^7 ; $\text{C}(=\text{O})\text{NR}^6\text{R}^7$; $-\text{S}(=\text{O})_{\text{n}1}-\text{R}^8$; X_2 is direct
bond; $-\text{NR}^1-$; $-\text{O}-(\text{CH}_2)_{\text{n}3}-$; $-\text{C}(=\text{O})-$; $-\text{C}(=\text{O})-\text{NR}^5-(\text{CH}_2)_{\text{n}3}-$; or $-(\text{CH}_2)_{\text{n}3}-$; R^3 is tetrazolyl;
piperazinyl; imidazolyl; oxazolyl; pyrimidinyl; thiazolyl; triazolyl; pyridyl; piperidinyl,
pyrazinyl; pyrazolyl or morpholinyl; said rings representing R^3 may optionally be substituted
with one substituent selected from $\text{C}_{1-6}\text{alkyl}$; NR^6R^7 ; hydroxy; halo; and in case R^3
represents a saturated or a partially saturated ring system, said R^3 may also be substituted
with at least one oxo; R^4 is hydrogen; R^6 and R^7 each independently represent hydrogen;
cyano; $\text{C}_{1-6}\text{alkylcarbonyl}$ optionally substituted with $\text{C}_{1-4}\text{alkyloxy}$;
 $\text{C}_{1-6}\text{alkyloxycarbonyl}$; $\text{C}_{3-7}\text{cycloalkylcarbonyl}$; or $\text{C}_{1-6}\text{alkyl}$; R^8 represents NR^6R^7 .

5. (Previously presented) A compound as claimed in claim 1 wherein the R^3 substituent is
linked to ring A in meta position compared to the NR^1 linker.

6. (Previously presented) A compound as claimed in claim 1 wherein the R^3 substituent is
linked to ring A in para position compared to the NR^1 linker.

7. (Previously presented) A compound as claimed in claim 1 wherein the R^3 substituent is an optionally substituted saturated 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N.

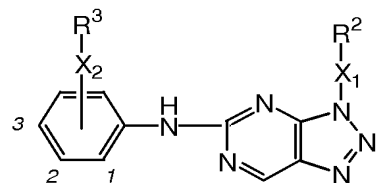
8. (Previously presented) A compound as claimed in claim 1 wherein X_1 represents a direct bond.

9. (Previously presented) A compound as claimed in claim 1 wherein R^2 represents C_{3-7} cycloalkyl; phenyl; a 4, 5, 6- or 7-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N; benzoxazolyl or a radical of formula (a-1) wherein said R^2 substituent is substituted with at least one substituent selected from C_{1-6} alkyl substituted with NR^6R^7 ; C_{2-6} alkenyl or C_{2-6} alkynyl, each substituted with NR^6R^7 ; polyhalo C_{1-6} alkyl substituted with NR^6R^7 ; C_{1-6} alkyloxy substituted with NR^6R^7 ; polyhalo C_{1-6} alkyloxy substituted with NR^6R^7 ; or NR^6R^7 .

10. (Previously presented) A compound as claimed in claim 1 wherein R^3 represents a 5- or 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N, or a 9- or 10-membered bicyclic heterocycle containing at least one heteroatom selected from O, S or N, wherein said R^3 substituent is substituted with at least one substituent selected from C_{1-6} alkyl substituted with NR^6R^7 ; C_{2-6} alkenyl or C_{2-6} alkynyl, each substituted with NR^6R^7 ; C_{1-6} alkyloxy substituted with NR^6R^7 ; or NR^6R^7 .

11. (Previously presented) A compound as claimed in claim 1 wherein R^2 represents C_{3-7} cycloalkyl; phenyl; a 4, 5, 6- or 7-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N; benzoxazolyl or a radical of formula (a-1), wherein said R^2 substituent is substituted with at least one substituent selected from halo; polyhalo C_{1-6} alkyl optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkyloxy- C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$; polyhalo- C_{1-6} alkyloxy optionally substituted with at least one substituent selected from hydroxy, cyano, carboxyl, C_{1-4} alkyloxy, C_{1-4} alkyloxy C_{1-4} alkyloxy, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyloxy, NR^6R^7 , $-C(=O)-NR^6R^7$, $-NR^5-C(=O)-NR^6R^7$, $-S(=O)_{n1}-R^8$ or $-NR^5-S(=O)_{n1}-R^8$.

12. (Currently Amended) A compound as claimed in claim 1 wherein the compound is selected from

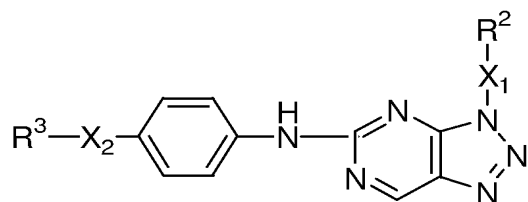


X ₁	R ²	X ₂	R ³
db		2-db	
db		2-db	
db		2-db	
db		2-db	
db		2-db	
db		3-db	
db		2-db	
db		3-NH	
db		2-db	

X ₁	R ²	X ₂	R ³
db		3-db	

a ~~N-oxide~~, a pharmaceutically acceptable addition salt, a quaternary amine or a stereochemically isomeric form thereof.

13. (Currently Amended) A compound as claimed in claim 1 wherein the compound is selected from



X ₁	R ²	-X ₂ -R ³
db		
db		
db		
db		
db		
db		
db		

~~a N-oxide~~, a pharmaceutically acceptable addition salt, a quaternary amine or a stereochemically isomeric form thereof.

14. (Previously presented) A pharmaceutical composition comprising a compound as claimed in claim 1 and a pharmaceutical excipient.

15. (Currently Amended) A method for the ~~prevention or the~~ treatment of diseases mediated through GSK3 comprising administering a therapeutically effective amount of a compound as defined in claim 1 to a patient for the ~~prevention or the~~ treatment of diseases mediated through GSK3.

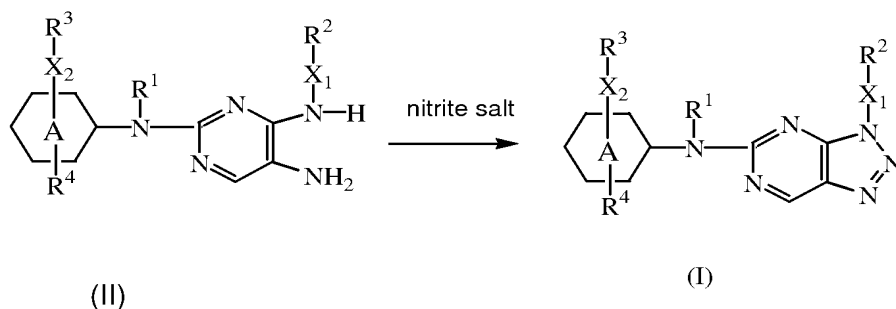
16. (Currently Amended) The method of claim 15 wherein the disease mediated through GSK3 is selected from the group consisting of bipolar disorder ~~(in particular manie depression)~~, type 2 diabetes, Alzheimer's disease, leukopenia, FTDP-17 ~~(Fronto-temporal dementia associated with Parkinson's disease)~~, cortico-basal degeneration, progressive supranuclear palsy, multiple system atrophy, Pick's disease, Niemann Pick's disease type C, Dementia Pugilistica, dementia with tangles only, dementia with tangles and calcification, Downs syndrome, myotonic dystrophy, Parkinsonism-dementia complex of Guam, aids related dementia, Postencephalic Parkinsonism, prion diseases with tangles, subacute sclerosing panencephalitis, frontal lobe degeneration ~~(FLD)~~, argyrophilic grains disease, subacute sclerotizing panencephalitis ~~(SSPE) (late complication of viral infections in the central nervous system)~~, GSK3-mediated inflammatory diseases, depression, ~~cancer~~, dermatological disorders, neuroprotection, schizophrenia, and pain.

17. (Currently Amended) The method of claim 16, wherein the GSK3 mediated disease is selected from the group consisting of Alzheimer's disease; type 2 diabetes; ~~cancer~~; GSK3-mediated inflammatory diseases; bipolar disorder; depression; and pain.

18. (Previously presented) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and as active ingredient a therapeutically effective amount of a compound as claimed in claim 1.

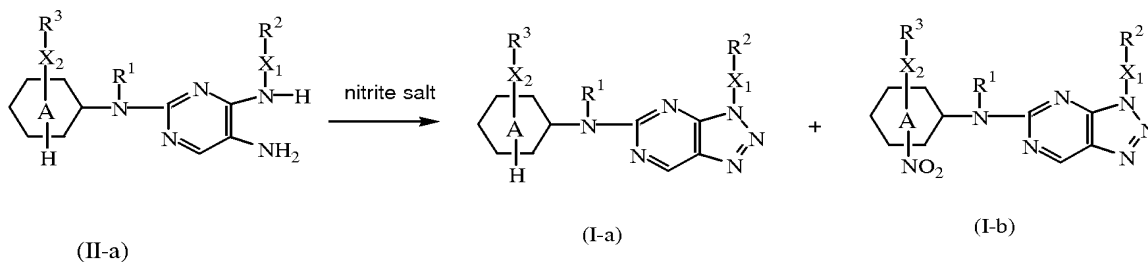
19. (Previously presented) A process for preparing a pharmaceutical composition comprising mixing a compound as claimed in claim 1 with a pharmaceutically acceptable carrier.

20. (Currently Amended) A process for preparing a compound as claimed in claim 1, comprising
a) cyclizing an intermediate of formula (II) in the presence of a nitrite salt, a suitable solvent, and a suitable acid,



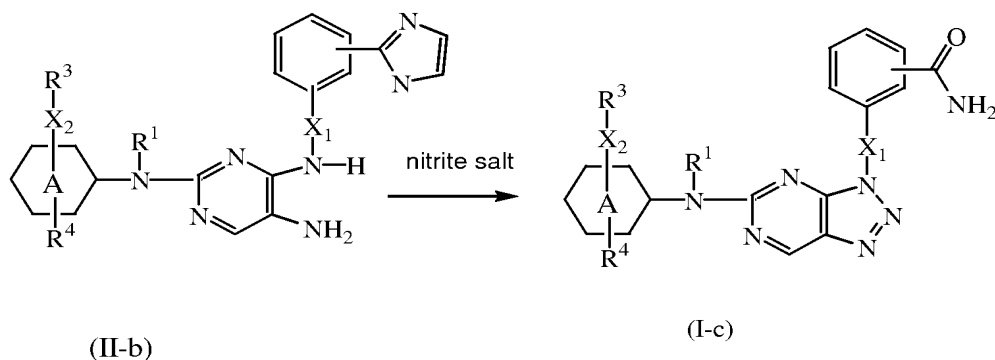
wherein ring A, R¹ to R⁴, X₁ and X₂ are as defined in claim 1;

b) cyclizing an intermediate of formula (II-a) in the presence of a nitrite salt, a suitable solvent, and a suitable acid,



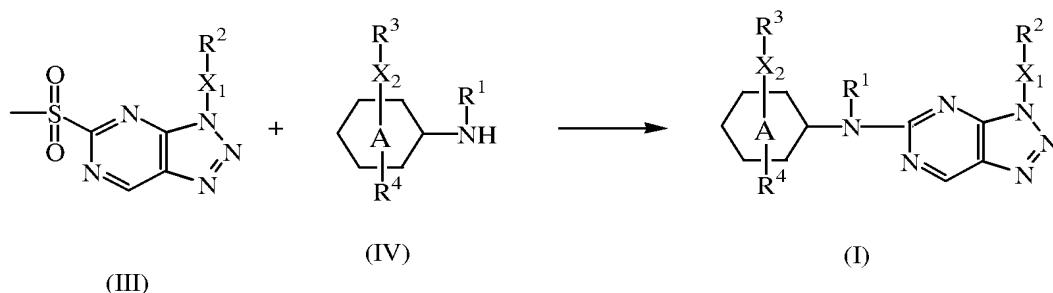
wherein ring A, R¹ to R³, X₁ and X₂ are as defined in claim 1;

c) cyclizing an intermediate of formula (II-b) in the presence of a nitrite salt, a suitable solvent, and a suitable acid,



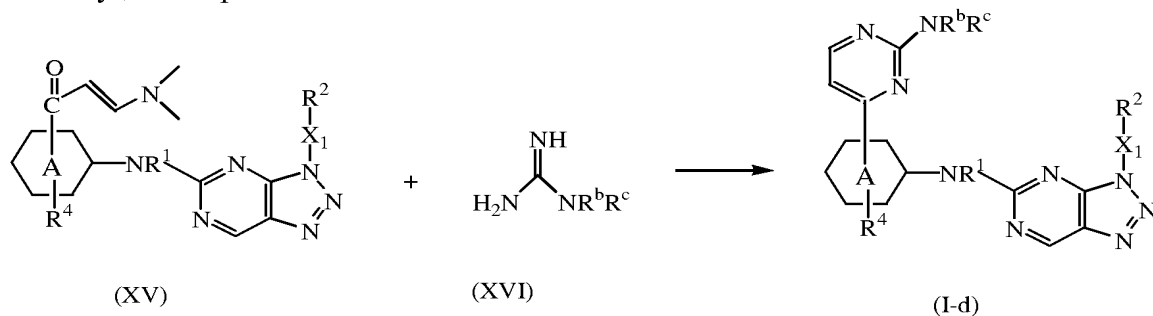
wherein ring A, R^1 , R^3 and R^4 , X_1 and X_2 are as defined in claim 1;

d) reacting an intermediate of formula (III) with an intermediate of formula (IV) in the presence of a suitable solvent,



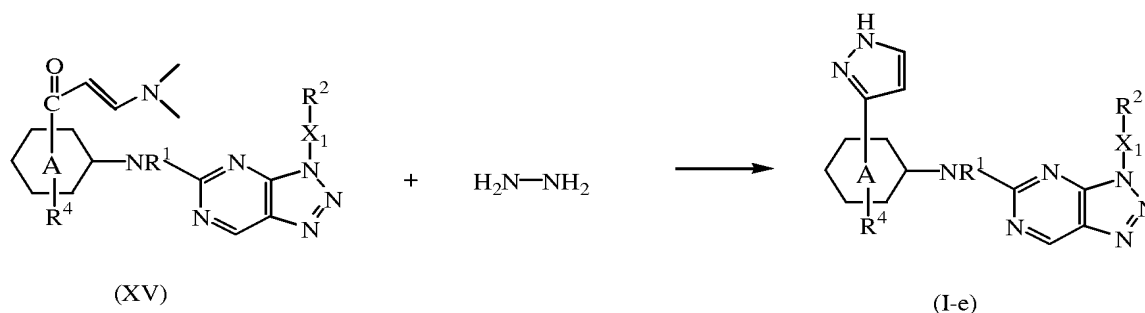
wherein ring A, R^1 to R^4 , X_1 and X_2 are as defined in claim 1;

e) reacting an intermediate of formula (XV) with an intermediate of formula (XVI), wherein R^b represents hydrogen, C_{1-4} alkyl or cyano, and R^c represents hydrogen or C_{1-4} alkyl, in the presence of a suitable solvent and a suitable salt



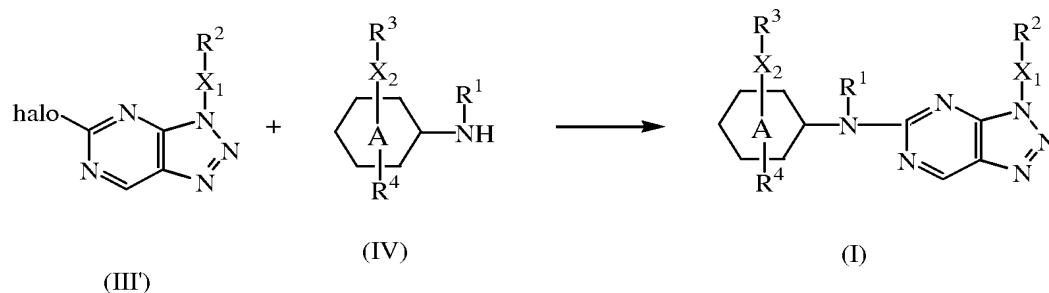
wherein ring A, R^1 , R^2 , R^4 and X_1 are as defined in claim 1;

f) reacting an intermediate of formula (XV) with hydrazine in the presence of a suitable solvent,



wherein ring A, R^1 , R^2 , R^4 and X_1 are as defined in claim 1;

g) reacting an intermediate of formula (III') with an intermediate of formula (IV) in the presence of a suitable solvent, and optionally in the presence of a suitable base,



wherein ring A, R^1 , R^2 , R^3 , R^4 , X_1 and X_2 are as defined in claim 1;

and optionally converting compounds of formula (I) into each other following art-known transformations, and further, optionally converting the compounds of formula (I), into a therapeutically active non-toxic acid addition salt by treatment with an acid, or into a therapeutically active non-toxic base addition salt by treatment with a base, or conversely, converting the acid addition salt form into the free base by treatment with alkali, or converting the base addition salt into the free acid by treatment with acid; and, optionally preparing stereochemically isomeric forms[,], or quaternary amines ~~or N-oxide forms~~ thereof.